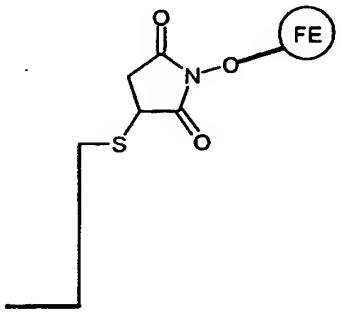
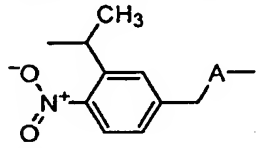
Claims

1. A building block of the general formula

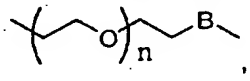


capable of transferring a functional entity (FE) to a recipient reactive group, wherein the lower horizontal line is a **Complementing Element** identifying the functional entity and the vertical line between the complementing element and the S atom is a **Spacer.**

2. The building block of claim 1, wherein the spacer is a valence bond, C_1-C_6 alkylene-A-, C_1-C_6 alkenylene-A-, C_2-C_6 alkynylene-A-, or



said spacer optionally being connected through A to a moiety selected from

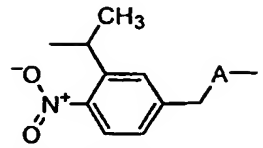
—$(CH_2)_n$—B—, 

, and

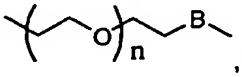
—$(CH_2)_n$—S—S—$(CH_2)_m$—B—

where A is a valence bond, –C(O)NR^1-, -NR^1-, -O-, -S-, or -C(O)-O-; B is a valence bond, -O-, -S-, –NR^1- or –C(O)NR^1- and connects to the S atom of the carrier; R^1 is selected independently from H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_1-C_6 alkylene-aryl, or aryl substituted with 0-5 halogen atoms selected from -F, -Cl, -Br and –I; and n and m independently are integers ranging from 1 to 10.

3. The compound according to claim 1, wherein the **Spacer** is C_1-C_6 alkylene-A-, C_1-C_6 alkenylene-A-, C_2-C_6 alkynylene-A-, or



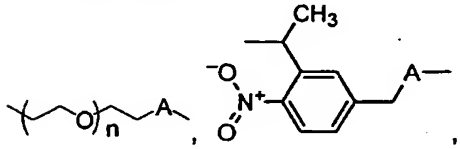
said spacer optionally being connected through A to a moiety selected from

 , and

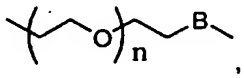
$—(CH_2)_n—S—S—(CH_2)_m—B—$

where A is $–C(O)NR^1$-, or -S-; B is -S-, $–NR^1$- or $–C(O)NR^1$- and connects to S-C-connecting group; R^1 is selected independently from H, C_1-C_6 alkyl, C_1-C_6 alkylene-aryl, or aryl; and n and m independently are integers ranging from 1 to 6.

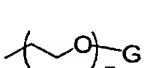
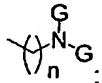
4. The compound according to claim 1, wherein **Spacer** is -A-, a group C_1-C_6 alkylene-A-, C_2-C_6 alkenylene-A-, or C_2-C_8 alkynylene-A- optionally substituted with 1 to 3 hydroxy groups, or



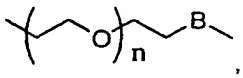
said spacer being connected through A to a linker selected from

-B-, $—(CH_2)_n—B—$,  , and

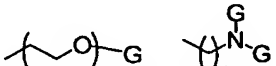
$—(CH_2)_n—S—S—(CH_2)_m—B—$

where A is a valence bond, $-NR^2$-, $–C(O)NR^2$-, - NR^2-C(O)-, -O-, -S-, -C(O)-O- or –OP(=O)(O^-)-O-; B is a valence bond, -O-, -S-, $–NR^2$-, -C(O)- or $–C(O)NR^2$- and connects to S-C-connecting group; R^2 is selected independently from H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, aryl, C_1-C_6 alkylene-aryl,  or  ; G is H or C_1-C_6 alkyl; and n and m independently are integers ranging from 1 to 10.

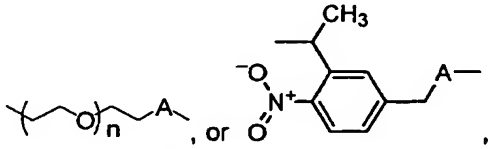
5. A compound according to claim 4, wherein the **spacer** is C_2-C_6 alkenylene-A, said spacer being connected through A to a moiety selected from

-B-, $—(CH_2)_n—B—$, or  ,

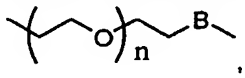
where A is a valence bond, –C(O)NR^2-, -NR^2-C(O)-, -S-, -C(O)-O- or –OP(=O)(O$^-$)-O-; B is a valence bond, -S-, –NR^2-, or -C(O)- and connects to S-C-connecting group; n and m independently are integers ranging from 1 to 10 and

R^2 is selected independently from H,  or , wherein G is H or C$_1$-C$_6$ alkyl; and the spacer is connected to the complementing element through a nucleobase.

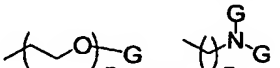
6. A compound according to claim 4, wherein the **spacer** is -A-,



said spacer being connected through A to a moiety selected from

-B-, —(CH$_2$)$_n$–B—, or  ,

where A is a valence bond, -NR^2-C(O)-, -O-, or -S-; B is a valence bond, -S-, -NR^2-, or -C(O)- and connects to S-C-connecting group;
n and m independently are integers ranging from 1 to 10 and

R^2 is selected independently from H,  or , wherein G is H or C$_1$-C$_6$ alkyl; and the spacer is connected to the complementing element via a phosphorus group.

7. A compound according to claim 6, wherein the phosphorus group is a phosphate or thiophosphate group attached to a 3' or 5' end of a complementing element.

8. The building block according to any of the claims 1 to 7, wherein **FE** is 
where
X = -C-, -S-, -P-, -S(O)-, or -P(O)-,
V = O, S, NH, or N-C$_1$-C$_6$ alkyl, and
R is H or selected among the group consisting of a C$_1$-C$_6$ alkyl, C$_2$-C$_6$ alkenyl, C$_2$-C$_6$ alkynyl, C$_4$-C$_8$ alkadienyl, C$_3$-C$_7$ cycloalkyl, C$_3$-C$_7$ cycloheteroalkyl, aryl, and heteroaryl, said group being substituted with 0-3 R^4, 0-3 R^5 and 0-3 R^9 or C$_1$-C$_3$ alkylene-NR$^4_2$, C$_1$-C$_3$ alkylene-NR^{4}C(O)R^8, C$_1$-C$_3$ alkylene-NR^{4}C(O)OR^8, C$_1$-C$_2$ al-

kylene-O-NR^4_2, C_1-C_2 alkylene-O-$NR^4C(O)R^8$, C_1-C_2 alkylene-O-$NR^4C(O)OR^8$ substituted with 0-3 R^9.

where R^4 is H or selected independently among the group consisting of C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_3-C_7 cycloheteroalkyl, aryl, heteroaryl, said group being substituted with 0-3 R^9 and

R^5 is selected independently from $-N_3$, -CNO, $-C(NOH)NH_2$, -NHOH, $-NHNHR^6$, $-C(O)R^6$, $-SnR^6_3$, $-B(OR^6)_2$, $-P(O)(OR^6)_2$ or the group consisting of C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_4-C_8 alkadienyl said group being substituted with 0-2 R^7,

where R^6 is selected independently from H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, aryl or C_1-C_6 alkylene-aryl substituted with 0-5 halogen atoms selected from -F, -Cl, -Br, and –I; and R^7 is independently selected from $-NO_2$, $-COOR^6$, $-COR^6$, -CN, $-OSiR^6_3$, $-OR^6$ and $-NR^6_2$.

R^8 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, aryl or C_1-C_6 alkylene-aryl substituted with 0-3 substituents independently selected from –F, -Cl, $-NO_2$, $-R^3$, $-OR^3$, $-SiR^3_3$

R^9 is =O, -F, -Cl, -Br, -I, -CN, $-NO_2$, $-OR^6$, $-NR^6_2$, $-NR^6$-$C(O)R^8$, $-NR^6$-$C(O)OR^8$, $-SR^6$, $-S(O)R^6$, $-S(O)_2R^6$, $-COOR^6$, $-C(O)NR^6_2$ and $-S(O)_2NR^6_2$.

9. A compound according to claim 8, wherein R is H or selected among the group consisting of a C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_4-C_8 alkadienyl, C_3-C_7 cycloalkyl, C_3-C_7 cycloheteroalkyl, aryl, and heteroaryl, said group being substituted with 0-3 R^5 and 0-3 R^9, or selected among the group consisting of C_1-C_3 alkylene-NR^4_2, C_1-C_3 alkylene-$NR^4C(O)R^8$, C_1-C_3 alkylene-$NR^4C(O)OR^8$, C_1-C_2 alkylene-O-NR^4_2, C_1-C_2 alkylene-O-$NR^4C(O)R^8$, and C_1-C_2 alkylene-O-$NR^4C(O)OR^8$ substituted with 0-3 R^9.

10. A compound according to claims 8 or 9, wherein R is H or selected among the group consisting of C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_4-C_8 alkadienyl, C_3-C_7 cycloalkyl, C_3-C_7 cycloheteroalkyl, aryl, and heteroaryl, said group being substituted with 0-3 R^5 and 0-3 R^9.

11. A compound according to any of the claims 8 to 10, wherein R is selected among the group consisting of C_1-C_3 alkylene-NR^4_2, C_1-C_3 alkylene-$NR^4C(O)R^8$, C_1-C_3 alkylene-$NR^4C(O)OR^8$, C_1-C_2 alkylene-O-NR^4_2, C_1-C_2 alkylene-O-$NR^4C(O)R^8$, and C_1-C_2 alkylene-O-$NR^4C(O)OR^8$ substituted with 0-3 R^9.

12. A compound according to any of the claims 1 to 11, wherein X = C and V = O or S.

13. A compound according to claims 1 to 12, wherein X = C and V = O.

14. A compound according to claims 1 to 13, wherein complementing element is a nucleic acid.

15. A compound according to claims 1 to 14, wherein Complementing element is a sequence of nucleotides selected from the group of DNA, RNA, LNA PNA, or morpholino derivatives.

16. A library of compounds according to any of the claims 1 to 15, wherein each different member of the library comprises a complementing element having a unique sequence of nucleotides, which identifies the functional entity.

17. A method for transferring a functional entity to a recipient reactive group, comprising the steps of

providing one or more building blocks according to claims 1 to 15,

contacting the one or more building blocks with a corresponding encoding element associated with a recipient reactive group under conditions which allow for a recognition between the one or more complementing elements and the coding elements, said contacting being performed prior to, simultaneously with, or subsequent to a transfer of the functional entity to the recipient reactive group.

18. The method according to claim 17, wherein the coding element comprises one or more coding sequences comprised of 1 to 50 nucleotides and the one or more complementing elements comprises a sequence of nucleotides complementary to one or more of the coding sequences.

19. The method of claims 17 or 18, wherein the recipient reactive group is an amine group, which may be part of a chemical scaffold, and the linkage between the functional entity and the scaffold is of the general chemical structure:

Scaffold-NH-X(=V)-R

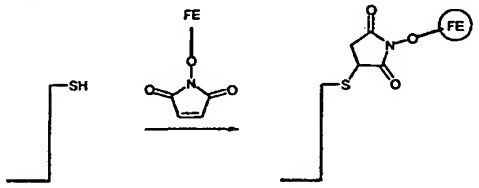
In which

X = -C-, -S-, -P-, -S(O)-, -P(O)-, and

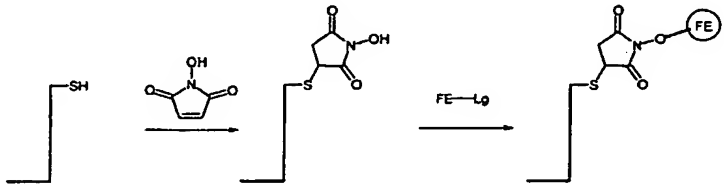
V = O, S, NH, N-C_1-C_6 alkyl.

20. The method according to claim 19, wherein X is C and V is O.

21. A process for preparing a building block according to claim 1, comprising the step of

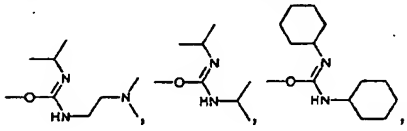


22. A process for preparing a building block according to claim 1, comprising the steps of



where Lg is a leaving group.

23. A process according to claim 18, wherein the leaving group is selected from


, Cl, Br.